10527127.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	MAR 30	INPADOCDB will replace INPADOC on STN
NEWS 24	APR 02	JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:52:35 ON 27 APR 2007

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:52:48 ON 27 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2007 HIGHEST RN 933069-51-3
DICTIONARY FILE UPDATES: 26 APR 2007 HIGHEST RN 933069-51-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

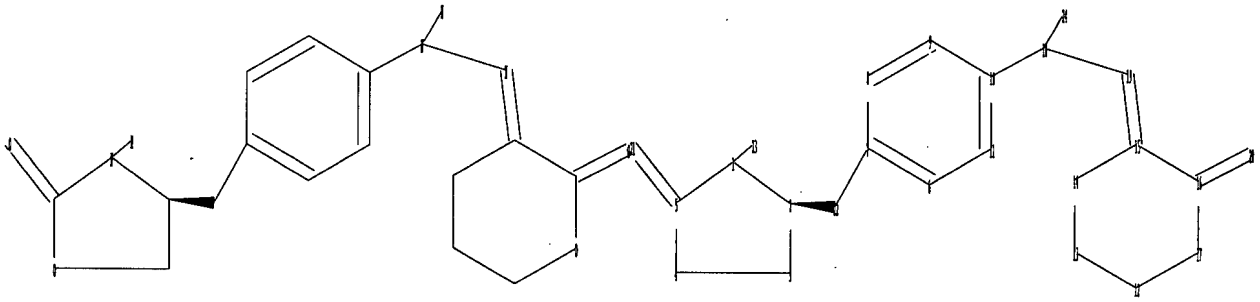
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10527127.str



chain nodes :
18 19 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
1-23 2-22 5-21 7-22 10-18 15-19 16-20 18-19 18-24
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14
14-15 15-16 16-17
exact/norm bonds :
1-2 1-5 5-21 10-18 15-19 16-20 18-19
exact bonds :
1-23 2-3 2-22 3-4 4-5 7-22 12-13 12-17 13-14 14-15 15-16 16-17 18-24
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 : 12 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS

Stereo Bonds:

22-2 (Single Wedge).

Stereo Chiral Centers:

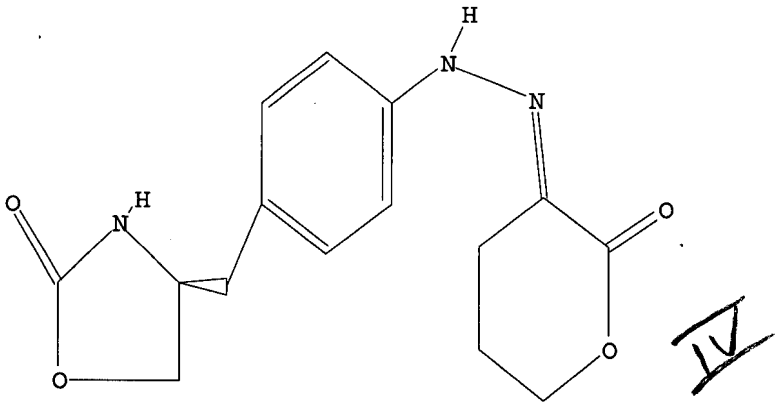
2 (Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 2

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 11:53:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED         0 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO         0
PROJECTED ANSWERS:               0 TO         0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:53:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -        1 TO ITERATE

100.0% PROCESSED         1 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

L3             1 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   172.10     172.31

FILE 'HCAPLUS' ENTERED AT 11:53:21 ON 27 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 27 Apr 2007 VOL 146 ISS 19
FILE LAST UPDATED: 26 Apr 2007 (20070426/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

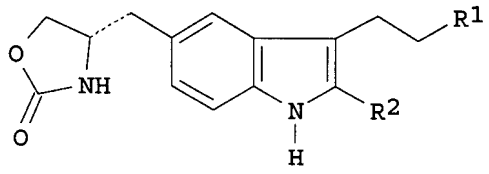
=> s l3
L4 1 L3

=> d l4 ibib abs hitstr tot

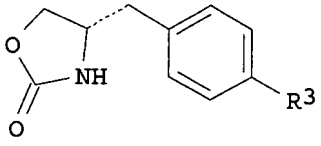
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:143143 HCAPLUS
DOCUMENT NUMBER: 140:181327
TITLE: Process for the preparation of zolmitriptan compounds via Fischer indole synthesis
INVENTOR(S): Balmases Barjoan, Pere; Armengol Asparo, Montserrat
PATENT ASSIGNEE(S): Laboratorios Vita, S. A., Spain
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014901	A1	20040219	WO 2003-IB3536	20030805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2204302	A1	20040416	ES 2002-1873	20020807
ES 2204302	B2	20050301		
AU 2003250476	A1	20040225	AU 2003-250476	20030805
EP 1534705	A1	20050601	EP 2003-784403	20030805
EP 1534705	B1	20060726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 334126	T	20060815	AT 2003-784403	20030805
NO 2005001178	A	20050304	NO 2005-1178	20050304
US 2006025600	A1	20060202	US 2005-527127	20050308
PRIORITY APPLN. INFO.:			ES 2002-1873 A	20020807
			WO 2003-IB3536 W	20030805

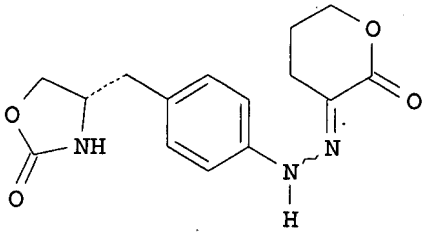
OTHER SOURCE(S): CASREACT 140:181327; MARPAT 140:181327
GI



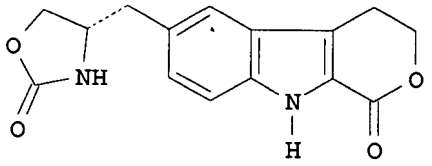
I



II



IV



V

AB The invention relates to zolmitriptan I (R1 = NMe2, R2 = H) and a pharmaceutically acceptable salt thereof prepared from (aminobenzyl)oxazolidinone II•HCl (R3 = NH2) via (a) preparation of hydrazine III (II, R3 = NHNH2) and subsequent in situ reaction of the hydrazine III with α-keto-δ-valerolactone, to give the hydrazone IV; (b) submission of the hydrazone IV to the Fischer indole synthesis to give the pyranoindolone of formula V; (c) transesterification of the pyranoindolone V to provide indole VI (I, R1 = OH, R2 = -CO2-alkyl, alkyl = C1-C4); (d) conversion of the hydroxyl group of the compound VI into dimethylamino to give the indolecarboxylate VII (I, R1 = NMe2, R2 = -CO2-alkyl, alkyl = C1-C4); (e) saponification of the VII to provide indolecarboxylic acid VIII (I, R1 = NMe2, R2 = CO2H); and (f) decarboxylation of VIII. Prior methods for the preparation of zolmitriptan compds. are either not applicable at industrial scale or require a stage of column purification of the end product, and may also use toxic reagents such as tin chloride for preparing the hydrazine, while having an overall yield of only 18%. For instance, zolmitriptan I (R1 = NMe2, R2 = H) was prepared via 6 steps with 87-95% yield for each step (alkyl is ethyl).

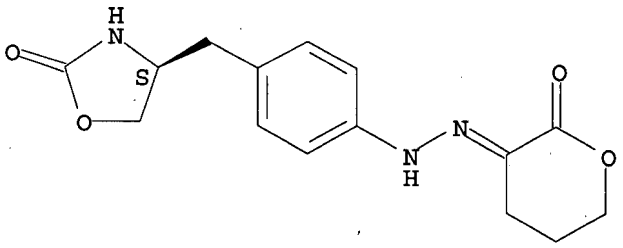
IT 659738-63-3P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process intermediate; preparation of zolmitriptan from [(pyranilidenhydrazino)benzyl]oxazolidinone via Fischer indole synthesis, transesterification, amination of hydroxy, saponification, and decarboxylation)

RN 659738-63-3 HCAPLUS

CN 2H-Pyran-2,3(4H)-dione, dihydro-, 3-[[4-[[(4S)-2-oxo-4-oxazolidinyl]methyl]phenyl]hydrazone] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	18.27	190.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.78	-0.78

FILE 'REGISTRY' ENTERED AT 11:56:10 ON 27 APR 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 APR 2007 HIGHEST RN 933069-51-3
DICTIONARY FILE UPDATES: 26 APR 2007 HIGHEST RN 933069-51-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

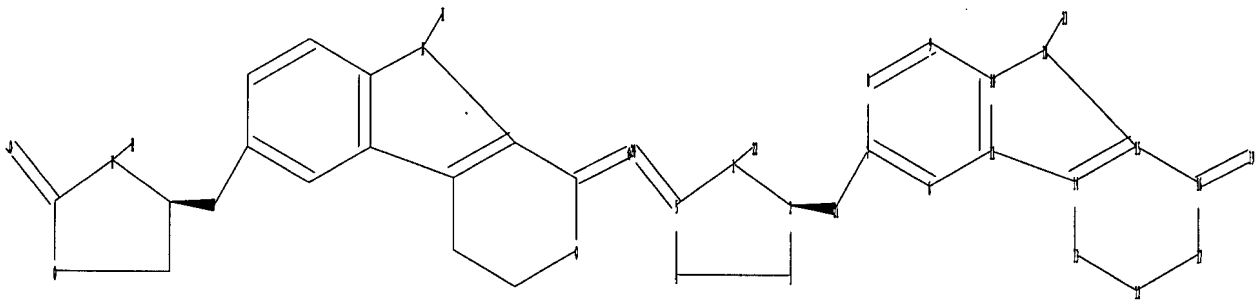
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10527127a.str



chain nodes :
19 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
1-22 2-21 5-20 7-21 16-19 18-23
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 10-18 11-14 12-13
12-17 13-14 14-15 15-16 15-18 16-17
exact/norm bonds :
1-2 1-5 5-20 10-18 15-18 16-19
exact bonds :
1-22 2-3 2-21 3-4 4-5 7-21 11-14 12-13 12-17 13-14 14-15 15-16 16-17
18-23
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS

Stereo Bonds:

21-2 (Single Wedge).

Stereo Chiral Centers:

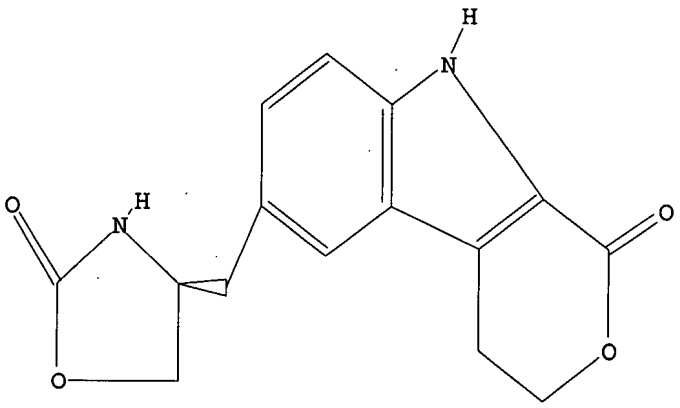
2 (Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 2

L5 STRUCTURE UPLOADED

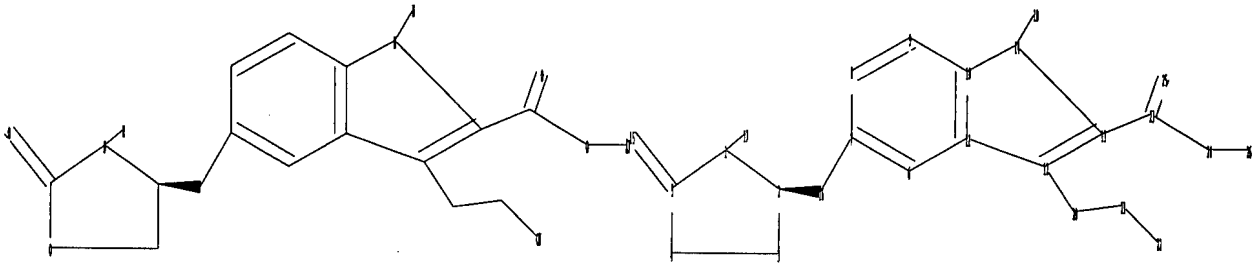
=> d l5
L5 HAS NO ANSWERS
L5 STR



Formula (V)

Structure attributes must be viewed using STN Express query preparation.

```
=> s l5
SAMPLE SEARCH INITIATED 11:56:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        2 TO ITERATE

100.0% PROCESSED         2 ITERATIONS                          0 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            2 TO       124
PROJECTED ANSWERS:               0 TO         0

L6             0 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 11:56:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      102 TO ITERATE

100.0% PROCESSED       102 ITERATIONS                        1 ANSWERS
SEARCH TIME: 00.00.01

L7             1 SEA SSS FUL L5

=>
Uploading C:\Program Files\Stnexp\Queries\10527127b.str
```



chain nodes :

15 16 17 18 20 21 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
1-17 2-16 5-15 7-16 12-20 13-23 14-18 20-21 21-22 23-24 23-25 24-26
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 10-14 11-12 12-13
13-14
exact/norm bonds :
1-2 1-5 5-15 10-14 13-14 21-22 23-24 23-25 24-26
exact bonds :
1-17 2-3 2-16 3-4 4-5 7-16 11-12 12-13 12-20 13-23 14-18 20-21
normalized bonds :
6-7 6-11 7-8 8-9 9-10 10-11
isolated ring systems :
containing 1 : 6 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

Stereo Bonds:

16-2 (Single Wedge).

Stereo Chiral Centers:

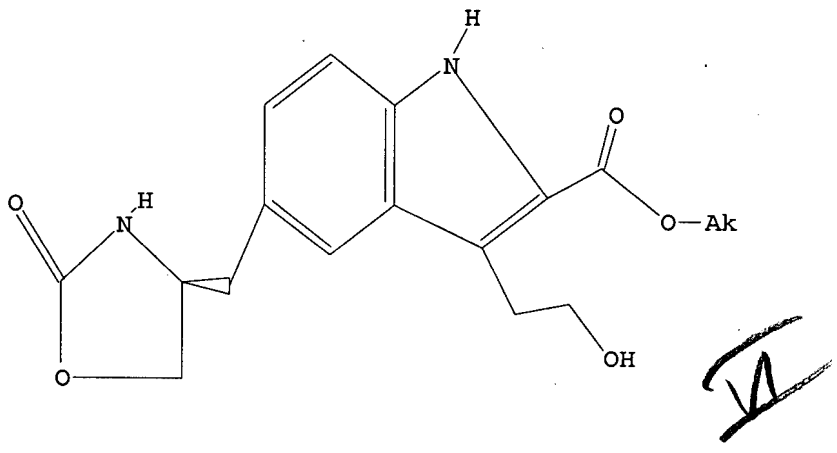
2 (Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 2

L8 STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l8
SAMPLE SEARCH INITIATED 11:59:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        1 TO ITERATE

100.0% PROCESSED         1 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            1 TO        80
PROJECTED ANSWERS:               0 TO         0

L9             0 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 11:59:37 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -         9 TO ITERATE

100.0% PROCESSED         9 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.01

L10            2 SEA SSS FUL L8

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   346.00     536.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -0.78

FILE 'HCAPLUS' ENTERED AT 11:59:42 ON 27 APR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 27 Apr 2007  VOL 146 ISS 19
FILE LAST UPDATED: 26 Apr 2007  (20070426/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d his
```

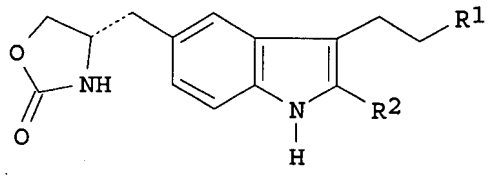
```
     (FILE 'HOME' ENTERED AT 11:52:35 ON 27 APR 2007)

     FILE 'REGISTRY' ENTERED AT 11:52:48 ON 27 APR 2007
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           1 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 11:53:21 ON 27 APR 2007
L4           1 S L3

     FILE 'REGISTRY' ENTERED AT 11:56:10 ON 27 APR 2007
L5             STRUCTURE UPLOADED
L6           0 S L5
L7           1 S L5 SSS FULL
L8             STRUCTURE UPLOADED
L9           0 S L8
L10          2 S L8 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 11:59:42 ON 27 APR 2007

=> s l7
L11          1 L7

=> s l10
L12          1 L10

=> d l11 ibib abs hitstr tot

L11  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2004:143143  HCAPLUS
DOCUMENT NUMBER:          140:181327
TITLE:                    Process for the preparation of zolmitriptan compounds
                          via Fischer indole synthesis
INVENTOR(S):              Dalmases Barjoan, Pere; Armengol Asparo, Montserrat
PATENT ASSIGNEE(S):       Laboratorios Vita, S. A., Spain
SOURCE:                   PCT Int. Appl., 29 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
     ----------------      ----   --------    --------------------     --------
     WO 2004014901          A1    20040219    WO 2003-IB3536           20030805
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
             TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     ES 2204302             A1    20040416    ES 2002-1873             20020807
     ES 2204302             B2    20050301
     AU 2003250476          A1    20040225    AU 2003-250476           20030805
     EP 1534705             A1    20050601    EP 2003-784403           20030805
     EP 1534705             B1    20060726
```

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

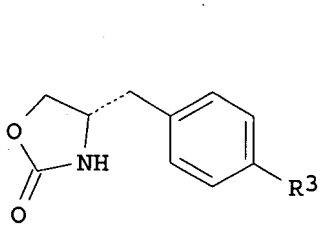
AT 334126	T	20060815	AT 2003-784403		20030805
NO 2005001178	A	20050304	NO 2005-1178		20050304
US 2006025600	A1	20060202	US 2005-527127		20050308
PRIORITY APPLN. INFO.:			ES 2002-1873	A	20020807
			WO 2003-IB3536	W	20030805

OTHER SOURCE(S): CASREACT 140:181327; MARPAT 140:181327

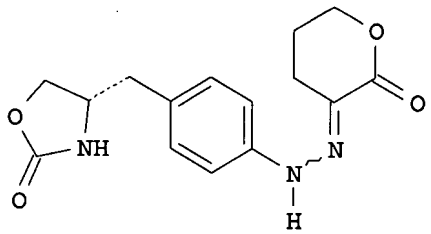
GI



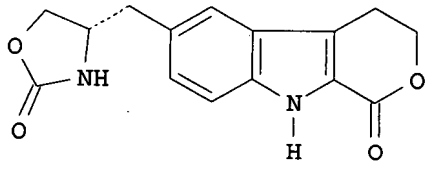
I



II



IV



V

AB The invention relates to zolmitriptan I (R1 = NMe2, R2 = H) and a pharmaceutically acceptable salt thereof prepared from (aminobenzyl)oxazolidinone II•HCl (R3 = NH2) via (a) preparation of hydrazine III (II, R3 = NHNH2) and subsequent in situ reaction of the hydrazine III with α-keto-δ-valerolactone, to give the hydrazone IV; (b) submission of the hydrazone IV to the Fischer indole synthesis to give the pyranoindolone of formula V; (c) transesterification of the pyranoindolone V to provide indole VI (I, R1 = OH, R2 = -CO2-alkyl, alkyl = C1-C4); (d) conversion of the hydroxyl group of the compound VI into dimethylamino to give the indolecarboxylate VII (I, R1 = NMe2, R2 = -CO2-alkyl, alkyl = C1-C4); (e) saponification of the VII to provide indolecarboxylic acid VIII (I, R1 = NMe2, R2 = CO2H); and (f) decarboxylation of VIII. Prior methods for the preparation of zolmitriptan compds. are either not applicable at industrial scale or require a stage of column purification of the end product, and may also use toxic reagents such as tin chloride for preparing the hydrazine, while having an overall yield of only 18%. For instance, zolmitriptan I (R1 = NMe2, R2 = H) was prepared via 6 steps with 87-95% yield for each step (alkyl is ethyl).

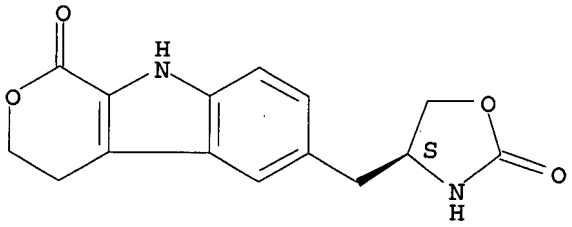
IT 659738-65-5P, (S)-6-(2-Oxo-1,3-oxazolidin-4-ylmethyl)-4,9-dihydro-3H-pyrano-[3,4-b]indol-1-one

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process intermediate; preparation of zolmitriptan from [(pyranilidenhydrazino)benzyl]oxazolidinone via Fischer indole synthesis, transesterification, amination of hydroxy, saponification, and decarboxylation)

RN 659738-65-5 HCAPLUS

CN Pyrano[3,4-b]indol-1(3H)-one, 4,9-dihydro-6-[[(4S)-2-oxo-4-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:143143 HCAPLUS
DOCUMENT NUMBER: 140:181327
TITLE: Process for the preparation of zolmitriptan compounds via Fischer indole synthesis
INVENTOR(S): Dalmases Barjoan, Pere; Armengol Asparo, Montserrat
PATENT ASSIGNEE(S): Laboratorios Vita, S. A., Spain
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

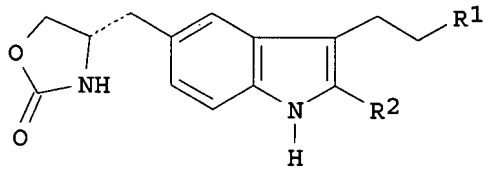
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014901	A1	20040219	WO 2003-IB3536	20030805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2204302	A1	20040416	ES 2002-1873	20020807
ES 2204302	B2	20050301		
AU 2003250476	A1	20040225	AU 2003-250476	20030805
EP 1534705	A1	20050601	EP 2003-784403	20030805
EP 1534705	B1	20060726		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

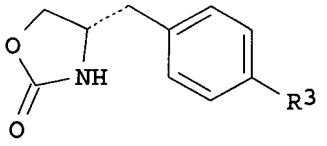
AT 334126	T	20060815	AT 2003-784403		20030805
NO 2005001178	A	20050304	NO 2005-1178		20050304
US 2006025600	A1	20060202	US 2005-527127		20050308
PRIORITY APPLN. INFO.:			ES 2002-1873	A	20020807
			WO 2003-IB3536	W	20030805

OTHER SOURCE(S): CASREACT 140:181327; MARPAT 140:181327

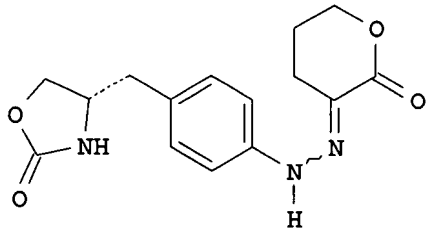
GI



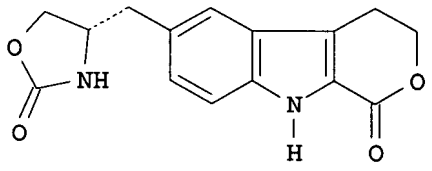
I



II



IV



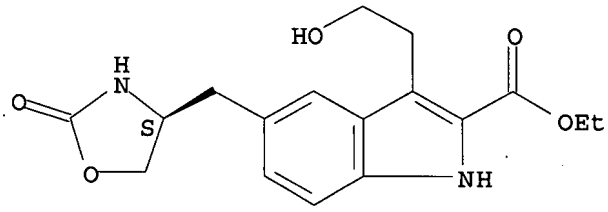
V

AB The invention relates to zolmitriptan I (R1 = NMe2, R2 = H) and a pharmaceutically acceptable salt thereof prepared from (aminobenzyl)oxazolidinone II•HCl (R3 = NH2) via (a) preparation of hydrazine III (II, R3 = NHNH2) and subsequent in situ reaction of the hydrazine III with α-keto-δ-valerolactone, to give the hydrazone IV; (b) submission of the hydrazone IV to the Fischer indole synthesis to give the pyranoindolone of formula V; (c) transesterification of the pyranoindolone V to provide indole VI (I, R1 = OH, R2 = -CO2-alkyl, alkyl = C1-C4); (d) conversion of the hydroxyl group of the compound VI into dimethylamino to give the indolecarboxylate VII (I, R1 = NMe2, R2 = -CO2-alkyl, alkyl = C1-C4); (e) saponification of the VII to provide indolecarboxylic acid VIII (I, R1 = NMe2, R2 = CO2H); and (f) decarboxylation of VIII. Prior methods for the preparation of zolmitriptan compds. are either not applicable at industrial scale or require a stage of column purification of the end product, and may also use toxic reagents such as tin chloride for preparing the hydrazine, while having an overall yield of only 18%. For instance, zolmitriptan I (R1 = NMe2, R2 = H) was prepared via 6 steps with 87-95% yield for each step (alkyl is ethyl).

IT 659738-67-7P, (S)-3-(2-Hydroxyethyl)-5-(2-oxo-1,3-oxazolidin-4-ylmethyl)-1H-indol-2-carboxylic acid ethyl ester

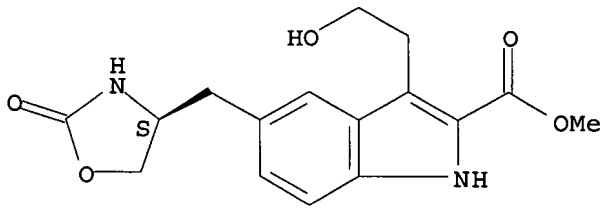
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process intermediate; preparation of zolmitriptan from [(pyranilidenhydrazino)benzyl]oxazolidinone via Fischer indole synthesis, transesterification, amination of hydroxy, saponification, and decarboxylation)
RN 659738-67-7 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-hydroxyethyl)-5-[[(4S)-2-oxo-4-oxazolidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 659738-66-6P, (S)-3-(2-Hydroxyethyl)-5-(2-oxo-1,3-oxazolidin-4-ylmethyl)-1H-indol-2-carboxylic acid methyl ester
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process intermediate; preparation of zolmitriptan from [(pyranilidenhydrazino)benzyl]oxazolidinone via Fischer indole synthesis, transesterification, amination of hydroxy, saponification, and decarboxylation)
RN 659738-66-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 3-(2-hydroxyethyl)-5-[[(4S)-2-oxo-4-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	15.74	552.32
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.56	-2.34

STN INTERNATIONAL LOGOFF AT 12:00:37 ON 27 APR 2007